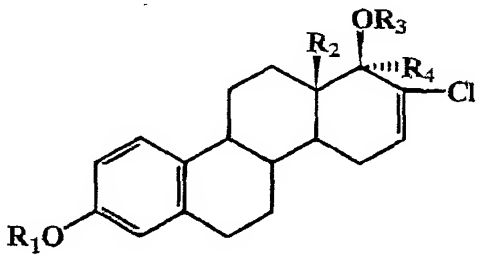
Claims

1. Use of ERβ-selective ligands for production of medicaments for regulating fertility with or without additional use of follicular sex steroids.
2. Use of ERβ-selective agonists according to claim 1 for treatment of female infertility.
3. Use according to claim 2 to support IVF (in vitro fertilisation) in connection with in vivo treatment.
4. Use according to claim 2 for treatment of females which are suffering from ovarian infertility (PCO syndrom).
5. Use for treatment of ovarian failure associated with aging.
6. Use of ERβ-selective antagonists according to claim 1 for ovarian contraception.
7. Use according to claim 6 for inhibiting folliculogenesis.
8. Use according to claim 6 for inhibiting ovulation.
9. Use according to claim 6 to inhibit preimplantational development of ovulated oocytes.
10. Use of ERβ-selective ligands according to claim 1 for production of medicaments for regulating fertility without additional use of follicular sex steroids.
11. Use of ERβ-selective ligands according to claim 10 for production of medicaments for regulating fertility without additional use of a progestin.
12. 17-Chloro-D-homosteroids of general formula I



(I)

in which

R_1 means a hydrogen atom or a C_{1-6} alkanoyl radical or benzoyl radical,

R_2 means a C_{1-6} alkyl group,

R_3 means a hydrogen atom, a C_{1-6} alkyl radical, C_{1-6} alkanoyl radical or benzoylyl radical, and

R_4 means a hydrogen atom, a C_{1-6} alkyl radical, a C_nF_{2n+1} group, in which n = 1, 2 or 3, or a $C{\equiv}CR_5$ group, in which R_5 is a hydrogen atom, a C_{1-6} alkyl radical or an unsubstituted or substituted phenyl radical.

13. Compounds of general formula I according to claim 12, namely

17-Chloro-17aα-ethinyl-17a,18a-dihomo-estra-1,3,5(10),16-tetraene-3,17aβ-diol

17-chloro-17aα-propinyl-17a,18a-dihomo-estra-1,3,5(10),16-tetraene-3,17aβ-diol

17-chloro-13β-ethyl-17aα-methyl-17a,18a-dihomo-estra-1,3,5(10),16-tetraene-3,17aβ-diol

17aβ-acetoxy-17-chloro-17aα-methyl-17a,18a-dihomo-estra-1,3,5(10),16-tetraene-3-ol

17-chloro-17aα-(trifluoromethyl)-17a,18a-dihomo-estra-1,3,5(10),16-tetraene-3,17aβ-diol

17-chloro-17aα-(pentafluoroethyl)-17a,18a-dihomo-estra-1,3,5(10),16-tetraene-3,17aβ-diol

17-chloro-17aα-methyl-17aβ-(methoxy)-17a,18a-dihomo-estra-1,3,5(10),16-tetraene-3-ol

17-chloro-17a-homoestra-1,3,5(10),16-tetraene-3,17aβ-diol

17-chloro-17aα-(trifluoromethyl)-17a-homoestra-1,3,5(10),16-tetraene-3,17aβ-diol

17-chloro-17aα-(pentafluoroethyl)-17a-homoestra-1,3,5(10),16-tetraene-3,17aβ-diol

17-chloro-17aα-methyl-17a-homoestra-1,3,5(10),16-tetraene-3,17aβ-diol

17-chloro-17aα-ethyl-17a-homoestra-1,3,5(10),16-tetraene-3,17aβ-diol

17-chloro-17aα-ethinyl-17a-homoestra-1,3,5(10),16-tetraene-3,17aβ-diol

17-chloro-17aα-propinyl-17a-homoestra-1,3,5(10),16-tetraene-3,17aβ-diol

17-chloro-17aα-(trifluoromethyl)-17a-homoestra-1,3,5(10),16-tetraene-3,17aβ-diol-diacetate

17aβ-acetoxy-17-chloro-17aα-(trifluoromethyl)-17a-homoestra-1,3,5(10),16-tetraene-3-ol

17-chloro-17aβ-methoxy-17aα-(trifluoromethyl)-17a-homoestra-1,3,5(10),16-tetraene-3-ol

17-chloro-(17aα)-21-(4'-methylsulfonylphenyl)-17a,18à-dihomogona-1,3,5(10),16-tetraen-20-yne-3,17aβ-diol

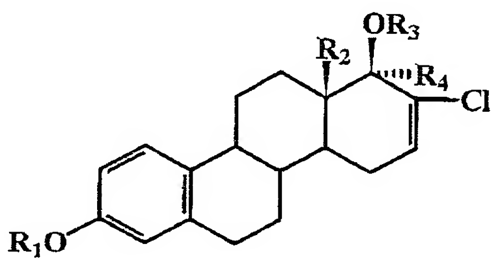
17-chloro-(17aα)-21-(phenyl)-13β-methyl-17a-homogona-1,3,5(10),16-tetraen-20-yne-3,17aβ-diol

17-chloro-(17aα)-21-(4'-cyanophenyl)-13β-methyl-17a-homogona-1,3,5(10),16-tetraen-20-yne-3,17aβ-diol

17-chloro-(17aα)-21-(4'-acetylaminophenyl)-13β-methyl-17a-homogona-1,3,5(10),16-tetraen-20-yne-3,17aβ-diol

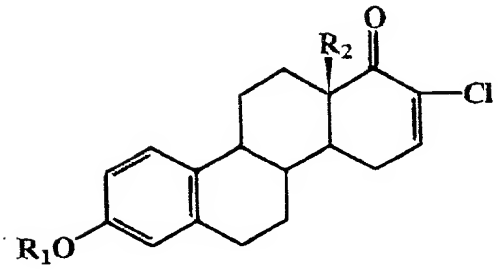
17-chloro-(17aα)-21-(4'-hydroxyphenyl)-13β-methyl-17a-homogona-1,3,5(10),16-tetraen-20-yne-3,17aβ-diol.

14. Process for the production of 17-chloro-D-homosteroids of the general formula I according to claim 12



(I)

characterized in that a 17-chloro-1,3,5(10),16-tetraene-17-one of general formula II



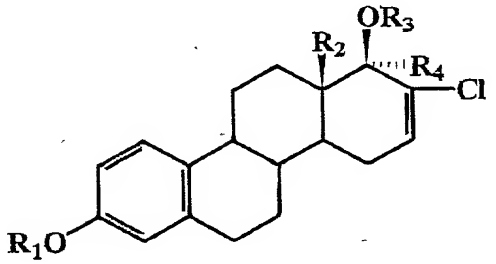
(II)

in which

R_1 means a hydrogen atom, a C_{1-6} alkyl radical, a C_{1-6} alkanoyl radical or benzoyl radical,

R_2 means a C_{1-6} alkyl group,

is converted with a magnesium-organic reagent of general formula BrMg alkyl, BrMg alkenyl or BrMg alkinyl or with acetylene or an alkyl- or aryl-substituted acetylene in the presence of bases such as **tert**-BuOK or with a lithium-organic compound such as LiC_2F_5 or with a silicon-organic compound such as trifluoromethyl trimethylsilane into a 17aα-substituted compound of general formula III,



(III)

in which R_1 is a hydrogen atom, a C_{1-6} alkyl radical or C_{1-6} alkanoyl radical or benzoyl radical, and R_2 is a C_{1-6} alkyl group, R_3 is a hydrogen atom, a metal atom or a silyl group, and R_4 is a hydrogen atom, a C_{1-6} alkyl group, a C_nF_{2n+1} group, in which n = 1, 2 or 3, or is a $C{\equiv}CR_5$ group, in which R_5 is a hydrogen atom, a C_{1-6} alkyl radical or an unsubstituted or substituted phenyl radical,

whereby in the case of R_5 = hydrogen, the free 17aα-ethinyl compound of general formula III is further modified by a SONAGASHIRA reaction to form compounds

with $R_5 = C_6H_4R_6$, in which R_6 stands for a free or substituted hydroxyl group, amino group, thiol group, sulfamate group, sulfonyl group or a C_{1-6} alkyl group or C_{6-12} aryl group.

15. Process according to claim 14, wherein compounds of formula III, in which R_1 is a C_{1-6} alkyl radical, are converted by ether cleavage into the free hydroxyl group.

16. Process according to claim 14, wherein compounds of formula III, in which R_1 is an acyl radical, are converted by ether cleavage into the free hydroxyl group.

17. Process according to claim 14, wherein compounds of formula III, in which R_3 is a hydrogen atom, are converted in a way that is known in the art into ethers or esters.

18. Use of the compounds of general formula I according to claim 12 for the production of pharmaceutical agents for contraception in women.

19. Use of the compounds of general formula I according to claim 12 for the production of pharmaceutical agents for contraception in men.

20. Use of the compounds of general formula I according to claim 12 for the production of pharmaceutical agents for treating benign or malignant proliferative diseases of the ovary.

21. Use according to claim 19 for treating ovarian cancer.

22. Use according to claim 19 for treating granulosa cell tumors.

23. Pharmaceutical compositions that contain at least one compound according to claim 12 or 13, as well as a pharmaceutically compatible vehicle.

24. Pharmaceutical compositions according to claim 12, which in addition to at least one compound of general formula I according to claim 1 contain at least one compound that is selected from the group of GnRH antagonists, progesterone receptor antagonists, mesoprogestins, gestagens or tissue-selective gestagens.